

Claims

1. Pharmaceutical cream preparation in the form of an oil-in-water (o/w) emulsion for topical application in the treatment and/or prevention of skin diseases,
5 characterized in that said preparation contains the following constituents in the lipophilic phase:

(i) as the active ingredient, an optionally substituted 1-phenyl-2-(1H)-pyridone compound or a pharmaceutically acceptable salt thereof,
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(ii) at least one surface-active solubilizer with an HLB value in the range 15-20,

(iii) at least one emulsifier with an HLB value in the range 8-15, and

15 (iv) optionally other excipients and additives known per se and selected from the group comprising triglycerides, penetration enhancers, preservatives and anti-oxidants.

2. Preparation according to Claim 1, characterized in that it contains the oily
20 phase in a proportion ranging from 20 to 80% by weight and the aqueous phase in a proportion ranging from 80 to 20% by weight, based on the total weight of the preparation according to the invention.

3. Preparation according to Claim 1, characterized in that it contains the oily
25 phase in a proportion ranging from 24.1 to 84.1% by weight and the aqueous phase in a proportion ranging from 75.9 to 15.9% by weight, and preferably contains the oily phase in a proportion ranging from 37.2 to 65% by weight and the aqueous phase in a proportion ranging from 35 to 62.8% by weight, based on the total weight of the preparation according to the invention.

30 4. Preparation according to one of Claims 1-3, characterized in that it contains the active ingredient [component (i)] in an amount of 0.5-9% by weight and preferably in an amount of 3-7% by weight, based on the total weight of the preparation.

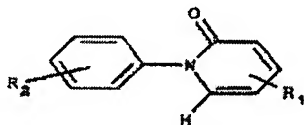
5. Preparation according to one of Claims 1-4, characterized in that it contains the surface-active solubilizer [component (ii)] in a concentration of 5-65% by weight and preferably in a concentration of 10-45% by weight, based on the total weight of the preparation.

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6. Preparation according to one of Claims 1-5, characterized in that it contains the emulsifier [component (iii)] in a concentration of 3-30% by weight and preferably in a concentration of 5-12.5% by weight, based on the total weight of the preparation.

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7. Preparation according to one of Claims 1-6, characterized in that it contains as the active ingredient a substituted pyridone of general formula (I):



15 or a pharmaceutically acceptable salt thereof, in which R₁ and R₂ independently of one another are (C₁-C₄)alkyl, carboxyl (-COOH) or -COOalkyl(C₁-C₄) and R₂ is also hydrogen.

8. Preparation according to Claim 7, characterized in that (C₁-C₄)alkyl R₁ and R₂ independently of one another are methyl, ethyl, propyl, isopropyl, n-butyl, sec-butyl or t-butyl and, if R₁ and/or R₂ are a radical -COOalkyl(C₁-C₄), the (C₁-C₄)alkyl radical therein has one of the meanings given above for R₁ and/or R₂.

9. Preparation according to Claim 7, characterized in that it contains as the active ingredient a compound of formula (I) in which R₁ is (C₁-C₄)alkyl and R₂ is hydrogen or (C₁-C₄)alkyl, and preferably in which R₁ is methyl and R₂ is hydrogen.

10. Preparation according to one of Claims 1-9, characterized in that the active ingredient as a pharmaceutically acceptable salt as an alkali metal or alkaline earth metal salt of the carboxyl-substituted compound of formula (I), preferably is the sodium or magnesium salt; or a salt of the compound of formula I which does not

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caontain a carboxyl group with oxalic acid or succinic acid.

11. Preparation according to one of Claims 1-10, characterized in that it contains one of the following compounds as the active ingredient:

- 5 5-methyl-1-p-tolyl-2-(1H)-pyridone
- 3-methyl-1-phenyl-2-(1H)-pyridone
- 3-ethyl-1-phenyl-2-(1H)-pyridone
- 4-isopropyl-1-phenyl-2-(1H)-pyridone
- 5-methyl-1-phenyl-2-(1H)-pyridone
- 10 3-methyl-1-carboxyphenyl-2-(1H)-pyridone
- 5-carboxy-1-phenyl-2-(1H)-pyridone
- 4-carboxymethyl-1-phenyl-2-(1H)-pyridone
- 5-t-butyl-1-(p-carboxyethylphenyl)-2-(1H)-pyridone.

- 15 12. Preparation according to one of Claims 1-11, characterized in that the surface-active solubilizer is selected from the group comprising diethylene glycol monoethyl ether, polyethylene/propylene glycol copolymers, cyclodextrins, glyceryl monostearates, sorbitan esters, polyoxyethylenesorbitan acid esters, polyvinyl alcohol, sodium laurylsulfate (anionic) and glyceryl monooleates.

- 20 13. Preparation according to one of Claims 1-12, characterized in that the emulsifier is selected from the group comprising anionic and non-ionic emulsifiers, anionic emulsifying waxes, cetyl alcohol, cetylstearyl alcohol, stearic acid, oleic acid, polyoxyethylene/polyoxypropylene block polymers, addition products of 2 to
25 60 mol of ethylene oxide and castor oil and/or hydrogenated castor oil, wool wax oil (lanolin), sorbitan esters, polyoxyethylenalkyl esters, polyoxyethylenesorbitan fatty acid esters and polyvinyl alcohol, and preferably from glycerol monooleate and stearic acid.

- 30 14. Preparation according to one of Claims 1-13, characterized in that the triglyceride is selected from the group comprising medium-chain and high-molecular triglycerides, and preferably medium-chain triglycerides in the form of glycerol esters of fatty acids having 6-12 carbon atoms, caprylic/capric acid triglyceride being particularly preferred.

15. Preparation according to one of Claims 1-14, characterized in that the penetration enhancer is selected from the group comprising isopropyl myristate, oleic acid, sodium laurylsulfate and 1,2-propanediol, the last of these being preferred.

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16. Preparation according to one of Claims 1-15, characterized in that it also contain superfatting agents, solvents, consistency regulators and/or hydrotropic agents.

10 17. Preparation according to one of Claims 1-16, characterized in that it contains the following components:

- (a) 3-7% by weight of active ingredient
- (b) 3-30% by weight of emulsifier
- (c) 5-65% by weight of surface-active solubilizer
- 15 (d) 5-30% by weight of triglyceride
- (e) 2-20% by weight of penetration enhancer
- (f) 2-20% by weight of superfatting agent
- (g) 3-30% by weight of consistency regulator
- (h) 0.01-3% by weight of preservative
- 20 (i) 0.1-5% by weight of antioxidant
- (k) 1-50% by weight of solvent
- (l) purified water ad 100% by weight (i.e. 20-80% by weight and especially 15.9-75.9% by weight of water).

25 18. Preparation according to one of Claims 1-16, characterized in that it contains the following components:

- 3-7% by weight of active ingredient
- 5-12.5% by weight of cetylstearyl alcohol
- 10-45% by weight of macrogol 15-hydroxystearate
- 30 - 7-20% by weight of medium-chain triglyceride
- 3-10% by weight of propanediol
- 3-10% by weight of decyl oleate
- 5-12.5% by weight of stearic acid
- 0.02-3% by weight of sodium methylparaben and sodium propylparaben

- 0.2-3% by weight of sodium metabisulfite
- 1-50% by weight of solvent
- purified water ad 100% by weight.

5 19. Process for the production of a preparation according to one of Claims 1-
18, characterized in that the lipophilic constituents are melted together and the melt
is heated to 60-80°C in one apparatus, and the aqueous phase is heated to the same
temperature in a separate apparatus, the aqueous phase is then incorporated into the
oily phase and the mixture is emulsified until homogeneous and stirred until it
10 forms a semisolid cream, the pH optionally being adjusted to 5-7.5.

20. Use of the preparation according to one of Claims 1-18 as a topical cream
preparation for the treatment or prophylaxis of skin diseases, preferably for the
treatment and prophylaxis of skin diseases of a fibrötíc nature, especially fibrous
15 lesions, multiple warts, contact dermatitis and keloids, for promoting the healing of
burns and for postoperative wound care.

Translator's Report

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Date: 09/02/2005

Page	Para	Line	Comment
4		10	in = im
7		21,22	Polyethylpropylenglykol = Polyethylenpropylenglykol?
9		21	Polypropylenglykol = Polypropylenglykol in Frage?
9		28	Hydrotope = Hydrotrope
10		5	gereinigte = gereinigtes
11		28	Damfbad = Dampfbad
16		4	Stearylsäure = Stearinsäure
21		7-13	Please check syntax (main verb missing).
21		16	dass als = dass diese als
21		31	Polyethylpropylenglykol = Polyethylenpropylenglykol?
22		26	enthalten = enthält
23		1	(k) = (j)?
23		2	(l) = (k)? gereinigte = gereinigtes
23		6	dass die = dass diese die
23		27	gegebenfalls = gegebenenfalls

Note: Minor errors are rectified without comment. Material errors are rectified except in the case of verified translations, when they are reproduced where possible.